Q = hydrocarbon (not alkyl)

```
=> d his

     (FILE 'HOME' ENTERED AT 06:20:20 ON 19 DEC 2007)

     FILE 'REGISTRY' ENTERED AT 06:20:29 ON 19 DEC 2007
L1                STRUCTURE UPLOADED
L2         627625 S N2C3/ES
L3         277395 S NCOC2/ES
L4         208684 S NOC3/ES
L5           7867 S L2 AND (L3 OR L4)
L6              1 S L1 SAM SUB=L5
L7             21 S L1 SSS FULL SUB=L5

     FILE 'CAPLUS' ENTERED AT 06:21:46 ON 19 DEC 2007
L8             10 S L7

     FILE 'REGISTRY' ENTERED AT 06:21:54 ON 19 DEC 2007

=> d l1
L1 HAS NO ANSWERS
L1                STR
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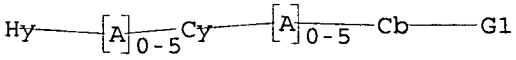

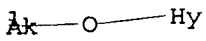

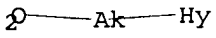

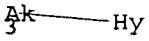

G1 [@1], [@2], [@3]

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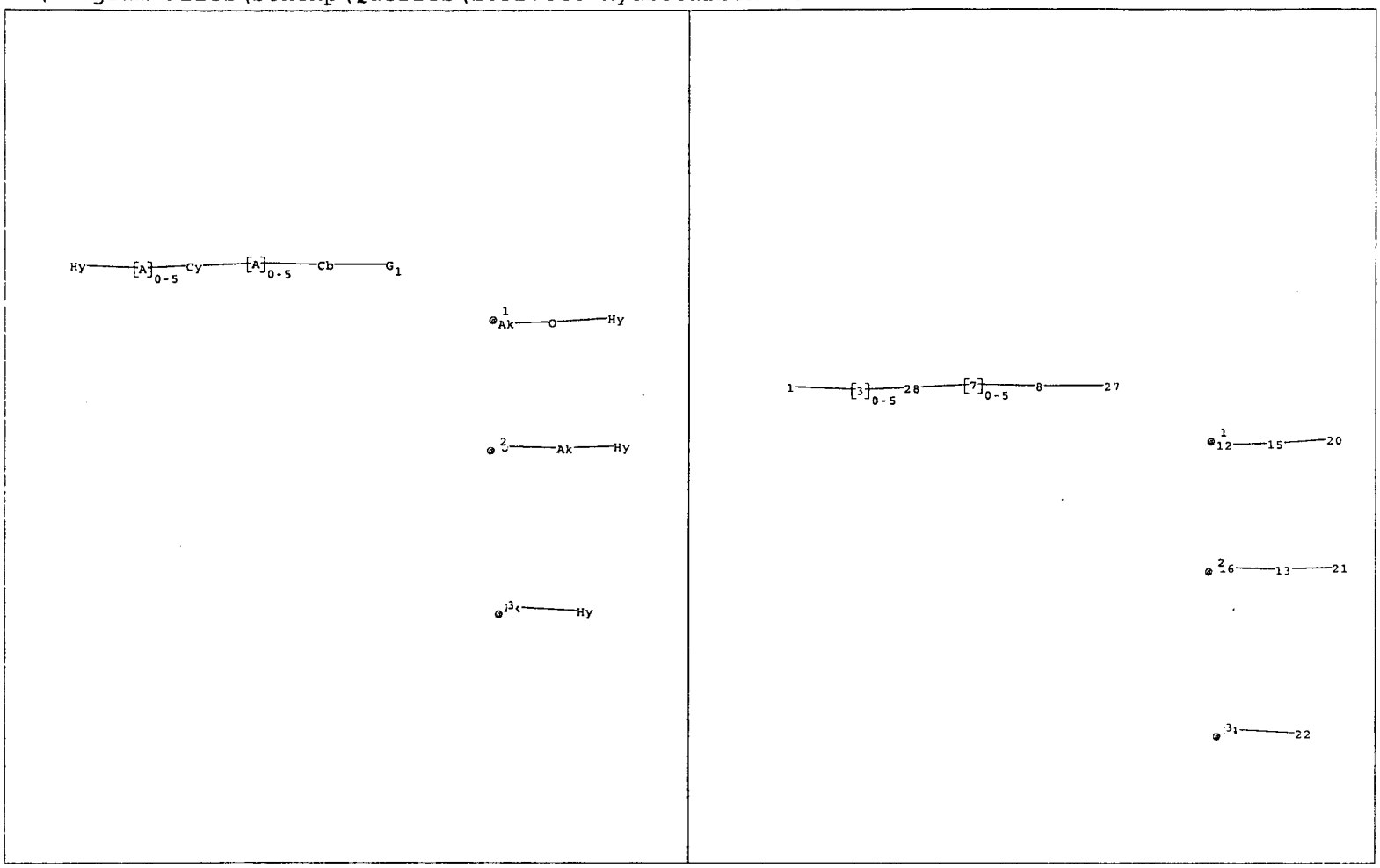

chain nodes :
1 3 7 8 12 13 14 15 16 20 21 22 27 28
chain bonds :
1-3 3-28 7-8 7-28 8-27 12-15 13-16 13-21 14-22 15-20
exact/norm bonds :
1-3 3-28 7-8 7-28 8-27 12-15 13-16 13-21 14-22 15-20

G1:[*1],[*2],[*3]

Connectivity :
12:2 E exact RC ring/chain 13:2 E exact RC ring/chain 14:2 E exact RC ring/chain
Match level :
1:Atom 3:CLASS 7:CLASS 8:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS
20:Atom 21:Atom 22:Atom 27:CLASS 28:CLASS
Generic attributes :
1:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic
8:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Type of Ring System : Monocyclic
20:
Saturation : Unsaturated

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    Number of Carbon Atoms : less than 7
    Number of Hetero Atoms : 2 or more
    Type of Ring System    : Monocyclic
    21:
    Saturation             : Unsaturated
    Number of Carbon Atoms : less than 7
    Number of Hetero Atoms : 2 or more
    Type of Ring System    : Monocyclic
    22:
    Saturation             : Unsaturated
    Number of Carbon Atoms : less than 7
    Number of Hetero Atoms : 2 or more
    Type of Ring System    : Monocyclic

Element Count :
    Node 1: Limited
        C,C3
        O,O1
        N,N1

    Node 8: Limited
        C,C6

    Node 20: Limited
        C,C3
        N,N2

    Node 21: Limited
        C,C3
        N,N2

    Node 22: Limited
        C,C3
        N,N2
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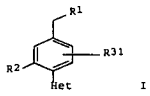
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:998448 CAPLUS Full-text
DN 147:344074
TI Preparation of heterocyclic sulfonamides, particularly N-(isoxazol-3-yl)thiophene-2-sulfonamides, as novel AT1 and ETA dual action receptor antagonists (dara)
IN Gupta, Ramesh Chandra; Jagtap, Vikrant Vijaykumar; Mandhare, Appaji Baburao; Perkins, Tim; Westerlund, Christer
PA Torrent Pharmaceuticals Ltd., India
SO PCT Int. Appl., 365pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007100295	A1	20070907	WO 2007-SE199	20070301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI US 2006-778855P	P	20060303		

OS MARPAT 147:344074
GI



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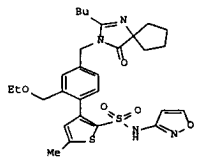


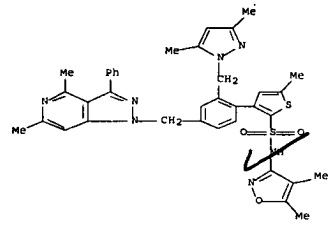
II

AB Title compds. I [Het = (un)substituted 2-[(R4-amino)sulfonyl]thiophen-3- yl, 3-[(R4-amino)sulfonyl]thiophen-2-yl, 5-[(R4-amino)sulfonyl]thiazol-4- yl, 2-

[(R4-amino)sulfonyl]furan-3-yl, etc.; R4 = (un)substituted 5-6 membered mono- or bicyclic ring containing 1-3 heteroatoms selected from O, N, and S such as isoxazolyl, pyridinyl, triazolyl, thiazolyl, etc.; R1 = (pyridin-4-yl)oxy, 2-oxo-1,6-naphthyridin-1-yl, (5,6,7,8- tetrahydroquinolin-4-yl)oxy, etc.; R2 = H, halo, alkyl, alkoxy, etc.; R31 = H, halo, CN, OH, alkoxyalkyl, etc.; and their pharmaceutically acceptable salts, hydrates, solvates, atropisomers, enantiomers, diastereomers, tautomers, polymorphs and prodrugs), (e.g., II), were prepared as AT1 and ETA dual action receptor antagonists. Thus, a multi-step synthesis using 4-bromo-3-methylbenzoic acid, (5-methylisoxazol-3-yl)amine, 5-methylthiophene-2-sulfonyl chloride, 1-aminocyclopentanecarboxylic acid and pentanimidic acid Et ester was given for sulfonamide II. The potency of sulfonamides I ranges from 1 nM to 10 μM for AT1 and 10 nM to 50 μM for ETA. I, alone or in combination, are useful for treating and preventing hypertension of different kinds, diabetic nephropathy, endothelin and angiotensin mediated disorders, prostate cancer, alleviating organ damage of different kinds, etc.

IT 948351-71-1P, 3-[4-[(4,6-Dimethyl-3-phenylpyrazolo[4,3-c]pyridin-1-yl)methyl]-2-[(3,5-dimethylpyrazol-1-yl)methyl]phenyl]-5-(methyl)thiophene-2-sulfonic acid N-(4,5-dimethylisoxazol-3-yl)amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic sulfonamides as AT1 and ETA dual action receptor antagonists)

RN 948351-71-1 CAPLUS
CN 2-Thiophenesulfonamide, N-(4,5-dimethyl-3-isoxazolyl)-3-[4-[(4,6-dimethyl-3-phenyl-1H-pyrazolo[4,3-c]pyridin-1-yl)methyl]-2-[(3,5-dimethyl-1H-pyrazol-1-yl)methyl]phenyl]-5-methyl- (CA INDEX NAME)



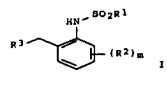
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:113558 CAPLUS Full-text
DN 146:206308
TI Preparation of azolylmethylbenzenesulfonamides as CCR2 chemokine receptor antagonists.
IN Brooks, Carl; Cleary, Pamela A.; Goodman, Krista B.; Peace, Simon; Philp, Joanne; Sehon, Clark A.; Smethurst, Christian; Watson, Stephen Paul

PA Glaxo Group Limted, UK
SO PCT Int. Appl., 114pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007014054	A2	20070201	WO 2006-US28419	20060721
WO 2007014054	A3	20071115		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
PRAI GB 2005-15194	A	20050722		
GB 2005-19492	A	20050923		

OS MARPAT 146:206308
GI

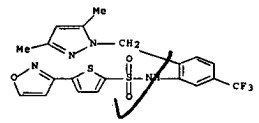


I

AB Title compds. [I; R1 = (substituted) aryl, thienyl, benzothienyl, imidazolyl, pyridyl, isoquinolinyl, piperonyl, benxoxathiadiazolyl, benzodiazolyl; m = 1-3; R2 = halo, cyano, OCF3, CF3; R3 = (substituted) heteroaryl, heterocycloalkyl], were prepared as CCR2 chemokine receptor antagonists (no data). Thus, [5-chloro-2-(1H-1,2,3-triazol-1- ylmethyl)phenyl]amine (preparation given) in pyridine was treated with 4-dimethylaminopyridine and 3,4-dichlorobenzoyl chloride followed by heating of the mixture at 90° for 4 h to give 3,4-dichloro-N-[5- chloro-2-(1H-1,2,3-triazol-1-ylmethyl)phenyl]benzenesulfonamide.

IT 922710-48-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolylmethylbenzenesulfonamides as CCR2 chemokine receptor antagonists)

RN 922710-48-3 CAPLUS
CN 2-Thiophenesulfonamide, N-[2-[(3,5-dimethyl-1H-pyrazol-1-yl)methyl]-5-(trifluoromethyl)phenyl]-5-(3-isoxazolyl)- (CA INDEX NAME)

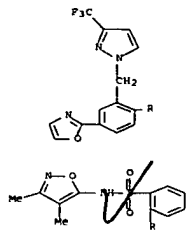


L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:894437 CAPLUS Full-text
DN 138:82921
TI Biphenylsulfonamide Endothelin Receptor Antagonists. 4. Discovery of N-[[2'-[[(4,5-Dimethyl-3-isoxazolyl)amino]sulfonyl]-4-(2-oxazolyl)[1,1'-biphenyl]-2-yl]methyl]-N,3,3-trimethylbutanamide (BMS-207940), a Highly Potent and Orally Active ETA Selective Antagonist
AU Murugesan, Natesan; Gu, Zhengxiang; Spergel, Steven; Young, Marian; Chen, Ping; Mathur, Arvind; Leith, Leslie; Hermsmeier, Mark; Liu, Eddie C.-K.; Zhang, Rongan; Bird, Eileen; Waldron, Tom; Marino, Anthony; Koplowitz, Barry; Humphreys, W. Griffith; Chong, Saeho; Morrison, Richard A.; Webb, Maria L.; Moreland, Suzanne; Trippodo, Nick; Barrish, Joel C.
CS Departments of Chemistry, Cardiovascular Agents, Cardiovascular Biochemistry and Pharmacology, Metabolism and Pharmacokinetics, Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-5400, USA
SO Journal of Medicinal Chemistry (2003), 46(1), 125-137
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 138:82921
AB We have previously disclosed the selective ETA receptor antagonist N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)[1,1'-biphenyl]-2-sulfonamide (BMS-193884) as a clin. development candidate. Addnl. SAR studies at the 2'-position of the compound led to the identification of several analogs with improved binding affinity as well as selectivity for the ETA receptor. Following the discovery that a 3-amino-isoxazole group displays significantly improved metabolic stability in comparison to its 5-regioisomer, the 3-amino-isoxazole group was combined with the optimal 2'-substituent leading to 16a (BMS-207940). One of compds. is an extremely potent (ETA Ki = 10 pM) and selective (80000-fold for ETA vs ETB) antagonist. It is also 150-fold more potent and >6-fold more selective than BMS-193884. The bioavailability of 16a was 100% in rats and the systemic clearance and volume of distribution are higher than that of BMS-193884. In rats, i.v. 16a blocks big ET pressor responses with 30-fold greater potency than BMS-193884. After oral dosing at 3 μmol/kg, 16a displays enhanced duration relative to BMS-193884.

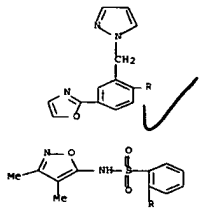
IT 195445-24-0P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(further studies of biphenylsulfonamide endothelin receptor antagonists)

RN 195445-24-0 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX

NAME)



IT [illegible]
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(further studies of biphenylsulfonamide endothelin receptor antagonists)
RN 195445-10-4 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)-2'-(1H-pyrazol-1-ylmethyl)- (CA INDEX NAME)



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:755214 CAPLUS Full-text
DN 137:263024

TI Preparation of N-isoxazolyl biphenylsulfonamides and related compounds as dual angiotensin II and endothelin receptor antagonists.
IN Murugesan, Natesan; Tellew, John E.; Macor, Jhon E.; Gu, Zhengxiang
PA Bristol-Myers Squibb Co., USA
SO U.S. Pat. Appl. Publ., 206 pp., Cont.-in-part of U.S. Ser. No. 643,640, abandoned.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002143024	A1	20021003	US 2000-737201	20001214
	US 6638937	B2	20031028		
	EP 1741713	A2	20070110	EP 2006-16968	20001213
	R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR				
	ES 2273739	T3	20070516	ES 2000-984282	20001213
	US 2004106833	A1	20040603	US 2003-673100	20030926
	US 6835741	B2	20041228		
	US 2004127515	A1	20040701	US 2003-672572	20030926
	US 6852745	B2	20050208		
PRAI	US 1998-91847P	P	19980706		
	US 1999-345392	B2	19990701		
	US 1999-464037	B2	19991215		
	US 2000-481197	B2	20000111		
	US 2000-513779	A2	20000225		
	US 2000-604322	A2	20000626		
	US 2000-643640	B2	20000822		
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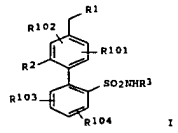
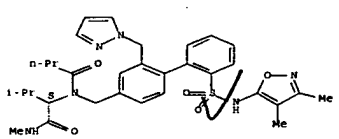
OS MARPAT 137:263024
GI



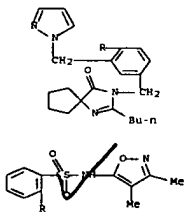
AB Title compds. (I; R1 = specified oxoimidazolyl, pyridoimidazolyl, pyridylamino, pyridyloxy, triazolyl, quinolinyloxy, etc.; R2 = H, halo, CHO, (halo)alkyl, cycloalkylalkyl, alkenyl, alkynyl, alkoxyalkyl, alkoxy, cyano, OH, NO2, etc.; R3 = heteroaryl; R101-R104 = H, halo, CHO, alkyl, haloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkoxyalkyl, haloalkoxyalkyl, alkoxy, alkoxyalkoxy, cyano, OH, hydroxyalkyl, NO2, etc; with provisos) were prepared as dual angiotensin II and endothelin receptor antagonists for treatment of hypertension and other diseases (no data). Thus, 4-BrC6H4CH2OH was coupled with {2-[[(4,5-dimethyl-3-isoxazolyl){(2-methoxyethoxy)methyl}amino]sulfonyl]phenyl}boronic acid to give N-(4,5-

dimethyl-3-isoxazolyl)-4'-(hydroxymethyl)-N-[(2- methoxyethoxy)methyl][1,1'-biphenyl]-2-sulfonamide (66%). This was brominated to give the 4'-bromomethyl derivative (90%), reacted with 2-butyl-1,3-diazaspiro[4.4]non-1-en-4-one hydrochloride, and deprotected (49% for two steps) to give 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en- 3-yl)methyl]-N-(4,5-dimethyl-3-isoxazolyl)-[1,1'-biphenyl]-2-sulfonamide.
IT [illegible], Butanamide, 2-[[[2'-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-2-(1H-pyrazol-1-ylmethyl)[1,1'-biphenyl]-4-yl]methyl](1-oxobutyl)amino]-N,3-dimethyl-, (2S)- [illegible], [1,1'-Biphenyl]-2-sulfonamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(3,4-dimethyl-5-isoxazolyl)-2'-(1H-pyrazol-1-ylmethyl)- [illegible], Butanamide, 2-[[[2'-[[(4,5-dimethyl-3-isoxazolyl)amino]sulfonyl]-2-(1H-pyrazol-1-ylmethyl)[1,1'-biphenyl]-4-yl]methyl](1-oxobutyl)amino]-N,3-dimethyl-, (2S)- 254742-37-5, [1,1'-Biphenyl]-2-sulfonamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(4,5-dimethyl-3-isoxazolyl)-2'-(1H-pyrazol-1-ylmethyl)-
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-isoxazolyl biphenylsulfonamides and related compds. as dual angiotensin II and endothelin receptor antagonists)
RN 254739-44-1 CAPLUS
CN Butanamide, 2-[[[2'-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-2-(1H-pyrazol-1-ylmethyl)[1,1'-biphenyl]-4-yl]methyl](1-oxobutyl)amino]-N,3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

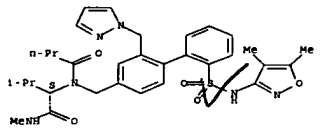


RN 254739-63-4 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(3,4-dimethyl-5-isoxazolyl)-2'-(1H-pyrazol-1-ylmethyl)- (CA INDEX NAME)

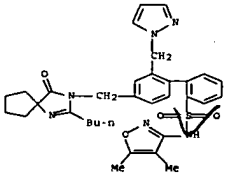


RN 254742-13-7 CAPLUS
CN Butanamide, 2-[[[2'-[[(4,5-dimethyl-3-isoxazolyl)amino]sulfonyl]-2-(1H-pyrazol-1-ylmethyl)[1,1'-biphenyl]-4-yl]methyl](1-oxobutyl)amino]-N,3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 254742-37-5 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(4,5-dimethyl-3-isoxazolyl)-2'-(1H-pyrazol-1-ylmethyl)- (CA INDEX NAME)



L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:453059 CAPLUS Full-text
DN 135:46172
TI Preparation of N-isoxazolyl biphenylsulfonamides and related compounds as dual angiotensin II and endothelin receptor antagonists.
IN Murugesan, Natesan; Tellew, John E.; Macor, John E.; Gu, Zhengxiang
PA Bristol-Myers Squibb Co., USA
SO PCT Int. Appl., 287 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001044239	A2	20010621	WO 2000-US33730	20001213
	WO 2001044239	A3	20011101		
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	AT 339417	T	20061015	AT 2000-984282	20001213
	EP 1741713	A2	20070110	EP 2006-16968	20001213
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	US 2000-481197	A	20000111		
	US 2000-513779	A	20000225		
	US 2000-604322	A	20000626		
	US 2000-643640	A	20000822		
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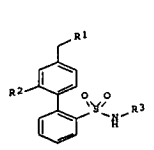
OS MARPAT 135:46172
GI



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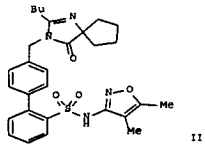
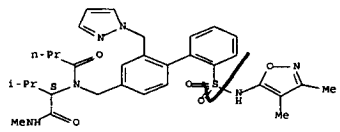


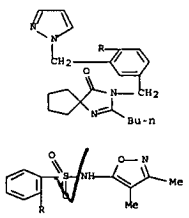
II

AB Title compds. (I; R1 = specified oxoimidazolyl, pyridoimidazolyl, pyridylamino, triazolyl, quinolinyloxy, etc.; R2 = H, halo, CHO, (halo)alkyl, cycloalkylalkyl, alkenyl, alkynyl, alkoxyalkyl, alkoxy, cyano, OH, NO2, etc.; R3 = heteroaryl; with provisos) were prepared as dual angiotensin II and endothelin receptor antagonists for treatment of hypertension and other diseases (no data). Thus, 4-BrC6H4CH2OH was coupled with [2-{[(4,5-dimethyl-3-isoxazolyl)[(2- methoxyethoxy)methyl]amino}sulfonyl]phenyl]boronic acid to give N-(4,5-dimethyl-3-isoxazolyl)-4'-(hydroxymethyl)-N-[(2-methoxyethoxy)methyl][1,1'-biphenyl]-2-sulfonamide (66%). This was brominated to give the 4'-bromomethyl derivative (90%), reacted with 2-butyl-1,3-diazaspiro[4.4]non-1-en-4-one hydrochloride, and deprotected (49% for two steps) to give II.
IT 254739-44-1P 254739-63-4P 254742-13-7P
254742-37-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-isoxazolyl biphenylsulfonamides and related compds. as dual angiotensin II and endothelin receptor antagonists)
RN 254739-44-1 CAPLUS
CN Butanamide, 2-[[[2'-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-2-(1H-pyrazol-1-ylmethyl)[1,1'-biphenyl]-4-yl]methyl](1-oxobutyl)amino]-N,3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

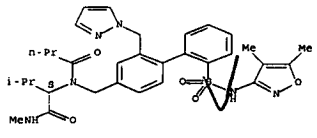


RN 254739-63-4 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(3,4-dimethyl-5-isoxazolyl)-2'-(1H-pyrazol-1-ylmethyl)- (CA INDEX NAME)

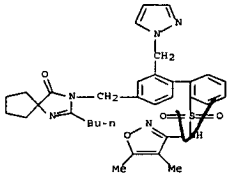


RN 254742-13-7 CAPLUS
CN Butanamide, 2-[[[2'-[[(4,5-dimethyl-3-isoxazolyl)amino]sulfonyl]-2-(1H-pyrazol-1-ylmethyl)[1,1'-biphenyl]-4-yl]methyl](1-oxobutyl)amino]-N,3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 254742-37-5 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(4,5-dimethyl-3-isoxazolyl)-2'-(1H-pyrazol-1-ylmethyl)- (CA INDEX NAME)



L8 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:34745 CAPLUS Full-text
DN 132:93309
TI Preparation of N-isoxazolyl biphenylsulfonamides and related compounds as dual angiotensin II and endothelin receptor antagonists.
IN Murugesan, Natesan; Tellew, John E.; Macor, John E.; Gu, Zhengxiang
PA Bristol-Myers Squibb Co., USA
SO PCT Int. Appl., 283 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000001389	A1	20000113	WO 1999-US15063	19990701
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	AU 9950888	A	20000124	AU 1999-50888	19990701
	AU 767456	B2	20031113		
	EP 1094816	A1	20010502	EP 1999-935406	19990701
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	EE 200100006	A	20020617	EE 2001-6	19990701
	JP 2002519380	T	20020702	JP 2000-557835	19990701
	HU 2001004634	A2	20021028	HU 2001-4634	19990701
	HU 2001004634	A3	20021128		
	NZ 508118	A	20030725	NZ 1999-508118	19990701
	ZA 2000006772	A	20020220	ZA 2000-6772	20001120
	IN 2000MN00657	A	20070126	IN 2000-MN657	20001123
	MX 2000PA12396	A	20010910	MX 2000-PA12396	20001213
	LT 4854	B	20011126	LT 2000-123	20001222
	NO 2001000062	A	20010305	NO 2001-62	20010105
	BG 105205	A	20010928	BG 2001-105205	20010131

	LV 12639	B	20010920	LV 2001-17	20010205
PRAI	US 1998-91847P	P	19980706		
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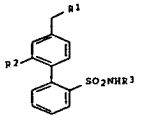
OS	MARPAT 132:93309				
GI					



I

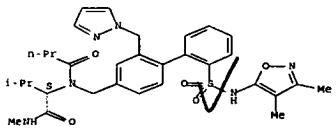
AB Title compds. (I; R1 = specified oxoimidazolyl, pyridoimidazolyl, pyridylamino, triazolyl, quinolinyloxy, etc.; R2 = H, halo, CHO, alkyl, haloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkoxyalkyl, alkoxy, cyano, OH, NO2, etc.; R3 = heteroaryl; with provisos), were prepared as dual angiotensin II and endothelin receptor antagonists (no data). Thus, 4-BrC6H4CH2OH was coupled with [2-[[(4,5-dimethyl-3-isoxazolyl)[(2-methoxyethoxy)methyl]amino]sulfonyl]phenyl]boronic acid to give N-(4,5-dimethyl-3-isoxazolyl)-4'-(hydroxymethyl)-N-[(2- methoxyethoxy)methyl][1,1'-biphenyl]-2-sulfonamide. This was brominated to give 4'-bromomethyl-N-(4,5-dimethyl-3-isoxazolyl)-N-[(2- methoxyethoxy)methyl][1,1'-biphenyl]-2-sulfonamide, which reacted with 2-butyl-1,3-diazaspiro[4.4]non-1-en-4-one hydrochloride followed by deprotection to give 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3- yl)methyl]-N-(4,5-dimethyl-3-isoxazolyl)[1,1'-biphenyl]-2-sulfonamide.

IT 254739-44-1P 254739-63-4P 254742-13-7P
254742-37-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-isoxazolyl biphenylsultonamides and related compds. as dual angiotensin II and endothelin receptor antagonists)

RN 254739-44-1 CAPLUS

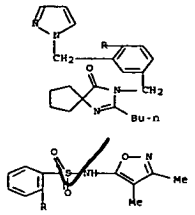
CN Butanamide, 2-[[[2'-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-2-(1H-pyrazol-1-ylmethyl)[1,1'-biphenyl]-4-yl]methyl](1-oxobutyl)amino]-N,3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 254739-63-4 CAPLUS

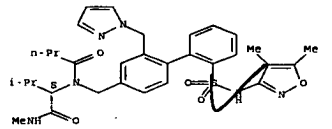
CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(3,4-dimethyl-5-isoxazolyl)-2'-(1H-pyrazol-1-ylmethyl)- (CA INDEX NAME)



RN 254742-13-7 CAPLUS

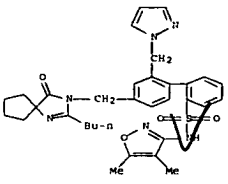
CN Butanamide, 2-[[[2'-[[(4,5-dimethyl-3-isoxazolyl)amino]sulfonyl]-2-(1H-pyrazol-1-ylmethyl)[1,1'-biphenyl]-4-yl]methyl](1-oxobutyl)amino]-N,3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 254742-37-5 CAPLUS

CN [1,1'-Biphenyl]-2-sulfonamide, 4'-[(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-yl)methyl]-N-(4,5-dimethyl-3-isoxazolyl)-2'-(1H-pyrazol-1-ylmethyl)- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:738746 CAPLUS Full-text

DN 130:52406

TI Substituted biphenyl isoxazole sulfonamides useful as endothelin antagonists

IN Murugesan, Natesan; Barrish, Joel C.; Spergel, Steven H.

PA Bristol-Myers Squibb Co., USA

SO U.S., 107 pp., Cont.-in-part of U.S. Ser. No. 754,715, abandoned.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5846990	A	19981208	US 1997-799616	19970213
	TW 517057	B	20030111	TW 1997-86101898	19970218
	ZA 9701423	A	19980819	ZA 1997-1423	19970219
	CA 2240043	A1	19970821	CA 1997-2240043	19970220
	WO 9729748	A1	19970821	WO 1997-US3956	19970220
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	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	AU 720458	B2	20000601		
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	EP 921800	B1	20040414		
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	ES 2219762	T3	20041201	ES 1997-915055	19970220
PRAI	US 1995-493331	B2	19950724		
	US 1996-603975	B1	19960220		
	US 1996-754715	B2	19961121		
	US 1997-799616	A	19970213		

	WO 1997-US3956	W	19970220
OS	MARPAT 130:52406		
GI			

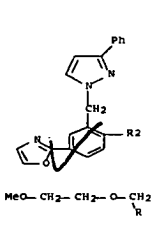
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I inhibit the activity of endothelin (no data), and are useful as antihypertensives, etc. The symbols in I are defined as follows [one of X and Y = N, other = O; J = O, S, N, (un)substituted NH; K, L = N or C, provided that at least one is C; p = 0-2; R1-R4 (bound to ring C atoms) = H, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aryloxy, aralkyl, aralkoxy, halo, OH, cyano, NO2, CHO, etc.; or R3R4 = (un)substituted alkylene or alkenylene; R5-R8 = groups similar to R1-R4, plus heterocyclyl, heterocyclyloxy, and others]. Over 280 synthetic examples are given. For instance, the MEM-protected, isoxazole-containing bromide II [R = Br] was lithiated, treated with B(OPr-iso)3, and hydrolyzed to give 82% II [R = B(OH)2]. The latter was coupled with 2-(4-bromophenyl)oxazole using Pd(PPh3)4 catalyst (70%), followed by acidic deprotection of the MEM group (52%), to give title compound III.

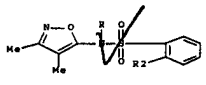
IT 195447-49-6P 195447-51-7P 195447-52-1P
195447-54-2P 195447-55-3P 195447-77-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of substituted biphenyl isoxazole sulfonamides as
endothelin antagonists)

RN 195447-40-6 CAPLUS

CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-4'-(2-oxazolyl)-2'-[(3-phenyl-1H-pyrazol-1-yl)methyl]- (CA INDEX NAME)

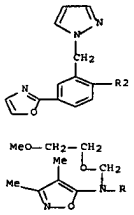


PAGE 2-A

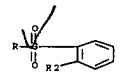


RN 195447-41-7 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-4'-(2-oxazolyl)-2'-(1H-pyrazol-1-ylmethyl)- (CA INDEX NAME)

PAGE 1-A

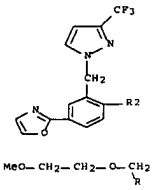


PAGE 2-A



RN 195447-53-1 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-4'-(2-oxazolyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

PAGE 1-A



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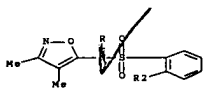
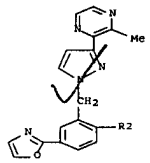


RN 195447-54-2 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-2'-[[3-(3-methylpyrazinyl)-1H-pyrazol-1-yl]methyl]-4'-(2-oxazolyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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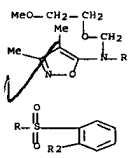
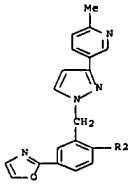


RN 195447-55-3 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-2'-[[3-(6-methyl-3-pyridinyl)-1H-pyrazol-1-yl]methyl]-4'-(2-oxazolyl)- (CA INDEX NAME)

PAGE 1-A



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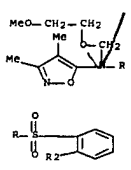
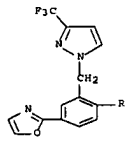


RN 195447-77-9 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(4,5-dimethyl-3-isoxazolyl)-N-[(2-

methoxyethoxy)methyl]-4'-(2-oxazolyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

PAGE 1-A



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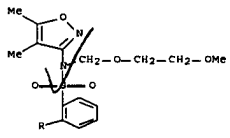
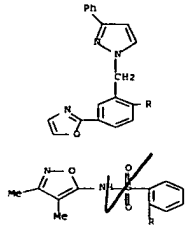
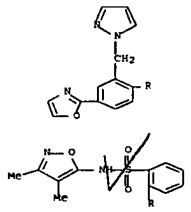


IT 195445-07-1P 195445-10-4P 195445-24-9P
195445-25-1P 195445-26-2P 195445-27-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted biphenyl isoxazole sulfonamides as endothelin antagonists)

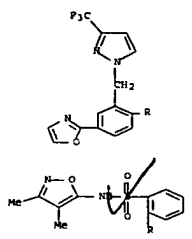
RN 195445-09-1 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)-2'-[(3-phenyl-1H-pyrazol-1-yl)methyl]- (CA INDEX NAME)



RN 195445-10-4 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)-2'-(1H-pyrazol-1-ylmethyl)- (CA INDEX NAME)

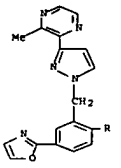


RN 195445-24-0 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)



RN 195445-25-1 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-2'-[[3-(3-methylpyrazinyl)-1H-pyrazol-1-yl]methyl]-4'-(2-oxazolyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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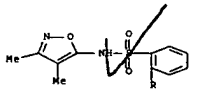
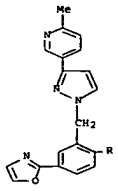
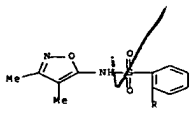


RN 195445-26-2 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-2'-[[3-(6-methyl-3-pyridinyl)-1H-pyrazol-1-yl]methyl]-4'-(2-oxazolyl)- (CA INDEX NAME)

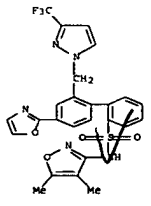
PAGE 1-A



PAGE 2-A



RN 195446-59-4 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(4,5-dimethyl-3-isoxazolyl)-4'-(2-oxazolyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

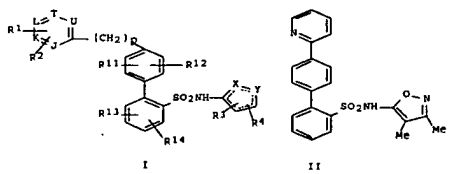


RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:479025 CAPLUS Full text
DN 129:136175
TI Preparation of substituted biphenyl sulfonamides as endothelin antagonists
IN Murugesan, Natesan; Barrish, Joel C.; Stein, Philip D.
PA Bristol-Myers Squibb Company, USA
SO U.S., 24 pp., Cont.-in-part of U.S. Ser. No. 587,076, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5780473	A	19980714	US 1996-692869	19960725
	US 5760038	A	19980602	US 1995-384066	19950206
	PL 183283	B1	20020628	PL 1996-312650	19960206
	WO 9804260	A1	19980205	WO 1997-US12180	19970715
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9736613	A	19980220	AU 1997-36613	19970715
PRAI	US 1995-384066	A2	19950206		
	US 1996-587076	B2	19960116		
	SG 1996-999	A	19960206		
	US 1996-692869	A	19960725		
	WO 1997-US12180	W	19970715		

OS MARPAT 129:136175
GI



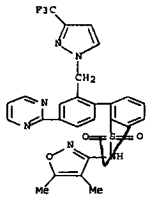
I II

AB The title compds. [I; X, Y = N, O; R1, R2 = H, alkyl, alkoxy, OH, etc.; R3, R4 = H, alkyl, alkenyl, alkynyl, alkoxy, etc.; R5 = alkyl, alkenyl, alkynyl, etc.; R11-R14 = H, alkyl, alkenyl, alkoxy, etc.; J, K, T, U = N, C; p = 0-2] are prepared I are useful as endothelin antagonists for the treatment of endothelin-related disorders, hypertension, ischemia, atherosclerosis and related diseases (no data). Thus, N-(3,4-dimethyl-5-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-4'-(2- pyrimidinyl)-(1,1'-biphenyl)-2-sulfonamide

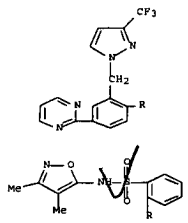
(preparation given) was treated with aqueous HCl to provide 87% the title compound (II).

IT 202805-68-3P 210354-16-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted biphenyl sulfonamides as endothelin antagonists)

RN 202805-68-3 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(4,5-dimethyl-3-isoxazolyl)-4'-(2-pyrimidinyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)



RN 210354-16-8 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-pyrimidinyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

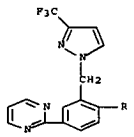


IT 202805-85-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of substituted biphenyl sulfonamides as endothelin antagonists)

RN 202805-85-4 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(4,5-dimethyl-3-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-4'-(2-pyrimidinyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

PAGE 1-A



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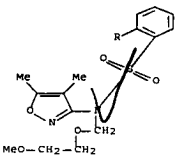

RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:98322 CAPLUS Full-text
DN 128:167435
TI Preparation of heterocyclyl-substituted biphenylsulfonamide as endothelin antagonists
IN Murugesan, Natesan; Barrish, Joel C.; Stein, Philip D.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 9804260	A1	19980205	WO 1997-US12180	19970715
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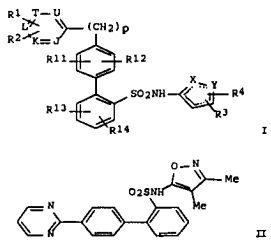
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5780473	A	19980714	US 1996-692869	19960725
	AU 9736613	A	19980220	AU 1997-36613	19970715
PRAI	US 1996-692869	A	19960725		
	US 1995-384066	A2	19950206		
	US 1996-587076	B2	19960116		
	WO 1997-US12180	W	19970715		

OS MARPAT 128:167435
GI



I

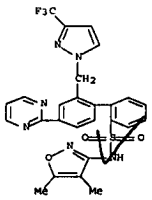
II

AB Compds. of formula (I; R1 and R2 are directly bonded to a ring carbon and are each independently hydrogen, alkyl or alkoxy, hydroxyl, halo, or amino; one of X and Y is N and the other is O; R3 and R4 are each directly bonded to a ring carbon and are each independently hydrogen, alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aryloxy, aralkyl or aralkoxy, any of which may be substituted or R3 and R4 together may also be alkylene or alkenylene, either of which may be substituted, completing a 4- to 8-membered saturated, unsatd. or aromatic ring together with the carbon atoms to which they are attached; R11 - R14 are each independently are hydrogen alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aryloxy, aralkyl, aralkoxy, or heterocyclyl, any of which may be substituted, halo, OH, cyano, NO2, CHO, CO2H, etc.; J, K, L, T, and U are each independently N or C, provided that at least one is N, and at most two are N; and when only one of J, K, L, T, and U is N, the N may be substituted with O- so that N-oxide is formed), which inhibit the activity of endothelin (no data), are prepared Also claimed is a method for treating endothelin-related disorders in a mammal, such as (1)

hypertension, (2) pulmonary hypertension, (3) renal, glomerular, or mesangial cell disorders, (4) endotoxemia, (5) ischemia, (6) atherosclerosis, (7) restenosis, (8) subarachnoid hemorrhage, (9) prostatic hypertrophy, and (10) congestive heart failure, and a method for inhibiting cell growth. Said compound I is used in combination with at least one angiotensin II receptor antagonist, renin inhibitor, angiotensin converting enzyme (ACE) inhibitor, or a dual neutral endopeptidase-ACE inhibitor for treating the endothelin-related disorder. A pharmaceutical composition for the treating the endothelin-related disorders comprises said compound optionally in combination with at least one angiotensin II receptor antagonist, renin inhibitor, angiotensin converting enzyme (ACE) inhibitor, or a dual neutral endopeptidase-ACE inhibitor. Thus, 2-(4-bromophenyl)pyrimidine is coupled with 2-borono-N-(3,4-dimethyl-5- isoxazolyl)-N-[(2-methoxyethoxy)methyl]benzenesulfonamide in the presence of (Ph3P)4Pd in a mixture of toluene, 2 M aqueous Na2CO3, and 95% ethanol under reflux for 1.5 h to give the title compound, N-isoxazolylpyrimidinylbiphenyl sulfonamide (II).

IT 202805-68-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl-substituted biphenylsulfonamide as endothelin antagonists for treating endothelin-related disorders)

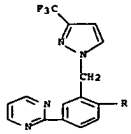
RN 202805-68-3 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(4,5-dimethyl-3-isoxazolyl)-4'-(2-pyrimidinyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)



IT 202805-85-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclyl-substituted biphenylsulfonamide as endothelin antagonists for treating endothelin-related disorders)

RN 202805-85-4 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(4,5-dimethyl-3-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-4'-(2-pyrimidinyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

PAGE 1-A



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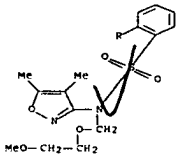


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:557640 CAPLUS Full-text
DN 127:248103
TI Substituted biphenyl isoxazole sulfonamides useful as endothelin antagonists
IN Murugesan, Natesan; Barrish, Joel C.; Spergel, Steven H.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 325 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9729748	A1	19970821	WO 1997-US3956	19970220
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,				

MR, NE, SN, TD, TG

US 5846990	A	19981208	US 1997-799616	19970213
TW 517057	B	20030111	TW 1997-86101899	19970218
ZA 9701423	A	19980819	ZA 1997-1423	19970219
AU 9722098	A	19970902	AU 1997-22098	19970220
AU 720458	B2	20000601		
EP 921800	A1	19990616	EP 1997-915055	19970220
EP 921800	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002500619	T	20020108	JP 1997-529620	19970220
AT 264324	T	20040415	AT 1997-915055	19970220
PRAI US 1996-603975	A	19960220		
US 1996-754715	A	19961121		
US 1997-799616	A	19970213		
US 1995-493331	B2	19950724		
WO 1997-US3956	W	19970220		

OS MARPAT 127:248103
GI

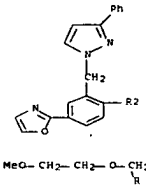
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I inhibit the activity of endothelin (no data), and are useful as antihypertensives, etc. The symbols in I are defined as follows [one of X and Y = N, other = O; J = O, S, N, (un)substituted NH; K, L = N or C, provided that at least one is C; p = 0-2; R1-R4 (bound to ring C atoms) = H, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, aryl, aryloxy, aralkyl, aralkoxy, halo, OH, cyano, NO2, CHO, etc.; or R3R4 = (un)substituted alkylene or alkenylene; R5-R8 = groups similar to R1-R4, plus heterocyclyl, heterocyclyloxy, and others]. Over 280 synthetic examples are given. For instance, the MEM-protected, isoxazole-containing bromide II [R = Br] was lithiated, treated with B(OPr-iso)3, and hydrolyzed to give 82% II [R = B(OH)2]. The latter was coupled with 2-(4-bromophenyl)oxazole using Pd(PPh3)4 catalyst (70%), followed by acidic deprotection of the MEM group (52%), to give title compound III.

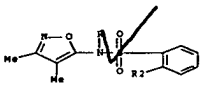
IT 195447-40-6P 195447-41-7P 195447-52-1P
195447-54-2P 195447-55-3P 195447-77-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of substituted biphenyl isoxazole sulfonamides as
endothelin antagonists)

RN 195447-40-6 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-4'-(2-oxazolyl)-2'-[(3-phenyl-1H-pyrazol-1-yl)methyl]- (CA INDEX NAME)

PAGE 1-A

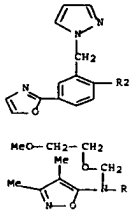


PAGE 2-A



RN 195447-41-7 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-4'-(2-oxazolyl)-2'-(1H-pyrazol-1-ylmethyl)- (CA INDEX NAME)

PAGE 1-A



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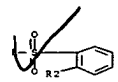
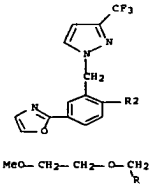


RN 195447-53-1 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-4'-(2-oxazolyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)

PAGE 1-A



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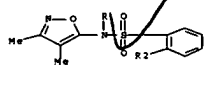
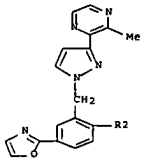


RN 195447-54-2 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-2'-[[3-(3-methylpyrazinyl)-1H-pyrazol-1-yl]methyl]-4'-(2-oxazolyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



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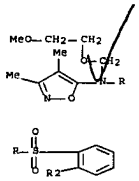

RN 195447-55-3 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-2'-[[3-(6-methyl-3-pyridinyl)-1H-pyrazol-1-yl]methyl]-4'-(2-oxazolyl)- (CA INDEX NAME)

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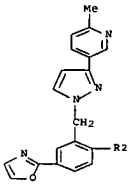

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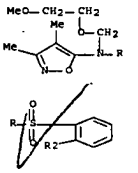

RN 195447-77-9 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(4,5-dimethyl-3-isoxazolyl)-N-[(2-methoxyethoxy)methyl]-4'-(2-oxazolyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]-, (CA INDEX NAME)

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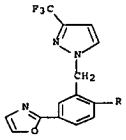

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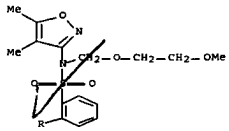
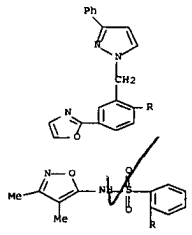


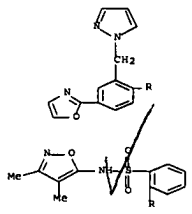
IT 195445-09-1P 195445-10-4P 195445-24-0P
195445-25-1P 195445-26-2P 195446-55-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted biphenyl isoxazole sulfonamides as endothelin antagonists)

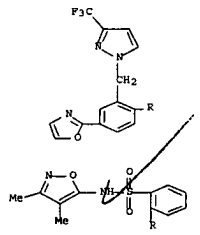
RN 195445-09-1 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)-2'-[(3-phenyl-1H-pyrazol-1-yl)methyl]- (CA INDEX NAME)



RN 195445-10-4 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)-2'-(1H-pyrazol-1-ylmethyl)- (CA INDEX NAME)



RN 195445-24-0 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-4'-(2-oxazolyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)



RN 195445-25-1 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-2'-[[3-(3-methylpyrazinyl)-1H-pyrazol-1-yl]methyl]-4'-(2-oxazolyl)- (9CI) (CA INDEX NAME)

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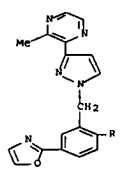

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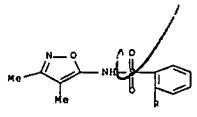

RN 195445-26-2 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-2'-[[3-(6-methyl-3-pyridinyl)-1H-pyrazol-1-yl]methyl]-4'-(2-oxazolyl)- (CA INDEX NAME)

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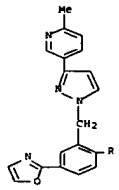

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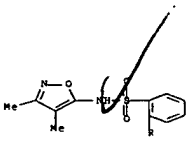
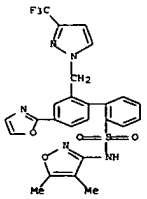


RN 195446-59-4 CAPLUS
CN [1,1'-Biphenyl]-2-sulfonamide, N-(4,5-dimethyl-3-isoxazolyl)-4'-(2-oxazolyl)-2'-[[3-(trifluoromethyl)-1H-pyrazol-1-yl]methyl]- (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	53.17	241.70
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-7.80	-7.80

SESSION WILL BE HELD FOR 120 MINUTES
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